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U.S. APPLICATION NO. (If known, see 37 CFR 1.5)

09/091602

PRIORITY DATE CLAIMED

16. December 1995 (16.12.95)

# TRANSMITTAL LETTER TO THE UNITED STATES DESIGNATED/ELECTED OFFICE (DO/EO/US) CONCERNING A FILING UNDER 35 U.S.C. 371

INTERNATIONAL APPLICATION NO.

PCT/EP96/05400

INTERNATIONAL FILING DATE

4. December 1995 (04.12.95)

**TITLE OF INVENTION** USE OF SUGAR DERIVATIVES AS ANTIMICROBIAL, ANTIMYCOTIC AND/OR  
ANTIVIRAL ACTIVE SUBSTANCES

**APPLICANT(S) FOR DO/EO/US** Joachim BUNGER, Gunther SCHNEIDER, Jorg SCHREIBER,  
Stefan TEICHMANN, Florian WOLF

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☒ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
  2. ☐ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
  3. ☒ This express request to begin national examination procedures (35 U.S.C. 371(f)) at any time rather than delay examination until the expiration of the applicable time limit set in 35 U.S.C. 371(b) and PCT Articles 22 and 39(1).
  4. ☒ A proper Demand for International Preliminary Examination was made by the 19th month from the earliest claimed priority date.
  5. ☒ A copy of the International Application as filed (35 U.S.C. 371(c)(2))
    - a. ☐ is transmitted herewith (required only if not transmitted by the International Bureau).
    - b. ☒ has been transmitted by the International Bureau.
    - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US).
  6. ☒ A translation of the International Application into English (35 U.S.C. 371(c)(2)).
  7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
    - a. ☐ are transmitted herewith (required only if not transmitted by the International Bureau).
    - b. ☐ have been transmitted by the International Bureau.
    - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
    - d. ☐ have not been made and will not be made.
  8. ☐ A translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371(c)(3)).
  9. ☒ An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)).
  10. ☐ A translation of the annexes to the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).
- Items 11. to 16. below concern document(s) or information included:**
11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
  12. ☒ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
  13. ☐ A **FIRST** preliminary amendment.  
☐ A **SECOND** or **SUBSEQUENT** preliminary amendment.
  14. ☐ A substitute specification.
  15. ☐ A change of power of attorney and/or address letter.
  16. ☒ Other items or information:  
COPY OF THE FIRST PAGE OF PUBLISHED APPLICATION WO 97/22346 (GERMAN & ENGLISH)  
CERTIFIED COPY OF PRIORITY DOCUMENT 195 47 160.1

17. ☒ The following fees are submitted:**BASIC NATIONAL FEE (37 CFR 1.492 (a) (1) - (5)):**

Search Report has been prepared by the EPO or JPO ..... \$930.00

International preliminary examination fee paid to USPTO (37 CFR 1.482)  
..... \$720.00No international preliminary examination fee paid to USPTO (37 CFR 1.482)  
but international search fee paid to USPTO (37 CFR 1.445(a)(2)) ..... \$790.00Neither international preliminary examination fee (37 CFR 1.482) nor  
international search fee (37 CFR 1.445(a)(2)) paid to USPTO ..... \$1070.00International preliminary examination fee paid to USPTO (37 CFR 1.482)  
and all claims satisfied provisions of PCT Article 33(2)-(4) ..... \$98.00**ENTER APPROPRIATE BASIC FEE AMOUNT =****CALCULATIONS** PTO USE ONLYSurcharge of \$130.00 for furnishing the oath or declaration later than ☐ 20 ☐ 30  
months from the earliest claimed priority date (37 CFR 1.492(e)).

CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE
Total claims	7 - 20 =	0	X \$22.00
Independent claims	1 - 3 =	0	X \$82.00

MULTIPLE DEPENDENT CLAIM(S) (if applicable) + \$270.00

**TOTAL OF ABOVE CALCULATIONS =**Reduction of 1/2 for filing by small entity, if applicable. Verified Small Entity Statement  
must also be filed (Note 37 CFR 1.9, 1.27, 1.28).**SUBTOTAL =**Processing fee of \$130.00 for furnishing the English translation later than ☐ 20 ☐ 30  
months from the earliest claimed priority date (37 CFR 1.492(f)).**TOTAL NATIONAL FEE =**Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be  
accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40.00 per property +**TOTAL FEES ENCLOSED =**

Amount to be: refunded	\$
charged	\$ 790.

a. ☐ A check in the amount of \$\_\_\_\_\_ to cover the above fees is enclosed.b. ☒ Please charge my Deposit Account No. 19-3869 in the amount of \$ 790.00 to cover the above fees.  
A duplicate copy of this sheet is enclosed.c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any  
overpayment to Deposit Account No. 19-3869. A duplicate copy of this sheet is enclosed.**NOTE:** Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137(a) or (b)) must be filed and granted to restore the application to pending status.

SEND ALL CORRESPONDENCE TO

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SIGNATURE

Kurt G. Briscoe

NAME

33,141

REGISTRATION NUMBER

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Use of sugar derivatives as antimicrobial, antimycotic  
and/or antiviral active ingredients

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back to the year 1941, although the first findings of penicillin were already observed in 1929. Antibiotics in the current sense are not suitable for all medical and certainly not all cosmetic applications, since the warm-blooded organism, that is to say, for example, the sick patient, is often also impaired in its metabolic functions during use in any manner.

One object of the present invention was thus to enrich the prior art in this direction, that is to say, in particular, to provide substances which are active against Gram-positive and/or Gram-negative bacteria without an unacceptable impairment to the health of the user being associated with the use of the substances.

Gram-negative microbes are, for example, *Escherichia coli*, *Pseudomonas* species and *Enterobacteriaceae*, such as, for example, *Citrobacter freundii*.

Gram-positive microbes also play a role in cosmetics and dermatology. In the case of impure skin, for example, bacterial secondary infections are of aetiological importance, in addition to other influences. One of the most important microorganisms connected with impure skin is *Propionibacterium acnes*.

Impure skin and/or comedones impair the well-being of those affected, even in mild cases. Since practically every adolescent is affected by impure skin to some degree, there is the need to remedy this state of affairs for many people.

A particular object of the present invention was thus to discover a substance or substance combination which is active against impure skin or *Propionibacterium acnes*.

In another embodiment, the present invention relates to cosmetic deodorants. Such formulations serve to

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Finally, body odour can also be masked by fragrances, a method which meets the aesthetic requirements of the

consumer the least, since the mixture of body odour and perfume fragrance smells rather unpleasant.

5 Nevertheless, most cosmetic deodorants, and also most cosmetics overall, are perfumed, even if they comprise deodorizing active ingredients. Perfuming can also serve to increase consumer acceptance of a cosmetic product or to give a product a certain flair.

10 However, perfuming of cosmetic compositions comprising active ingredients, in particular cosmetic deodorants, is not infrequently problematic, because active ingredients and perfume constituents may occasionally react with one another and render each other inactive.

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Deodorants should fulfil the following conditions:

- 1) They should have the effect of reliable deodorizing.
- 2) The natural biological processes of the skin should not be impaired by the deodorants.
- 20 3) The deodorants must be harmless in the event of an overdose or other use which is not as specified.
- 4) They should not become concentrated on the skin after repeated use.
- 25 5) They should be easy to incorporate into the customary cosmetic formulations.

Another object of the present invention was thus to develop cosmetic deodorants which do not have the disadvantages of the prior art. In particular, the  
30 deodorants should largely protect the microflora of the skin, but selectively reduce the number of microorganisms responsible for body odour.

35 It was furthermore an object of the invention to develop cosmetic deodorants which are distinguished by good skin tolerance. Under no circumstances should the deodorizing active principles become concentrated on the skin.

Another object was to develop cosmetic deodorants which harmonize with the largest possible number of customary cosmetic auxiliaries and additives, in particular with the perfume constituents which are important precisely in formulations having a deodorizing or antiperspirant action.

Yet another object of the invention was to provide cosmetic deodorants which are active over a relatively long period of time, and in particular of the order of at least half a day, without their action decreasing noticeably.

Finally, it was an object of the present invention to develop deodorizing cosmetic principles which can be incorporated as universally as possible into the most diverse presentation forms of cosmetic deodorants without being limited to one or a few specific presentation forms.

Fungi, also called mycota [ $\mu\upsilon\kappa\eta\varsigma$  = Greek for fungus] or mycobionts, in contrast to bacteria, belong to the eukaryotes. Eukaryotes are organisms of which the cells (eucytes), in contrast to those of the so-called prokaryotes (procytes), have a cell nucleus demarcated from the rest of the cytoplasm by a nuclear shell and nuclear membrane. The cell nucleus contains the genetic information stored in chromosomes.

Representatives of mycobionts include, for example, yeasts (Protoascomycetes), moulds (Plectomycetes), mildew (Pyrenomycetes), downy mildew (Phycomycetes) and toadstools (Basidiomycetes).

Fungi, including the Basidiomycetes, are not plant organisms, but like these have a cell wall, vacuoles filled with cell sap and a plasma flow which is easily visible under the microscope. They contain no

photosynthetic pigments and are C-heterotrophic. They grow under aerobic conditions and obtain energy by oxidation of organic substances. Some representatives, for example yeasts, however, are facultative anaerobic organisms and are capable of producing energy by fermentation processes.

Dermatomycoses are diseases where certain types of fungi, in particular Dermatophytes, penetrate the skin and hair follicles. The symptoms of dermatomycoses are, for example, small blisters, exfoliation, rhagades and erosion, usually combined with itching or allergic eczema.

Dermatomycoses can essentially be divided into the following four groups: dermatophytoses (for example epidermophytosis, favus, microsporosis and trichophytosis), yeast mycoses (for example pityriasis and other mycoses caused by *Pityrosporum*, *Candida* infections, blastomycosis, Busse-Buschke disease, torulosis, *Piedra alba*, torulopsidosis and trichosporosis), mould mycoses (for example aspergillosis, cephalosporidosis, phycomycosis and scopulariopsidosis) and systemic mycoses (for example chromomycosis, coccidiomycosis and histoplasmosis).

The pathogenic and facultatively pathogenic microbes include, for example, from the group of yeasts, the *Candida* species (for example *Candida albicans*) and those of the family *Pityrosporum*. *Pityrosporum* species, in particular *Pityrosporum ovale*, are thought to be responsible for skin diseases such as pityriasis versicolor, seborrhoea in the form of seborrhoea oleosa and seborrhoea sicca, which manifest themselves above all as seborrhoea capitis (= dandruff), seborrhoeic eczema and *pityrosporum* folliculitis. Participation of *Pityrosporum ovale* in the development of psoriasis is a subject of discussion in the field.

All areas of the human skin can be affected by dermatomycoses. Dermatophytoses almost exclusively affect the skin, hair and nails. Yeast mycoses can also affect mucosa and internal organs, while systemic mycoses  
5 regularly extend to entire organ systems.

The regions of the body where moisture and heat can build up owing to clothing, jewellery or shoes are affected particularly often. Athlete's foot is thus one  
10 of the best-known and most widespread dermatomycoses. Fungal diseases of the finger-nail and toenail regions (onychomycoses), moreover, are particularly unpleasant.

Superinfections of the skin by fungi and bacteria are  
15 also not infrequent.

If a new infection with high microbe counts of one or more often physiological pathogens, for example Staphylococci, but often also non-physiological  
20 pathogens, for example Candida albicans, occurs with existing primary infection, i.e. the normal microbe population of the skin, and adverse influences coincide, "superinfection" of the affected skin may occur. The  
normal microflora of the skin (or of another organ of  
25 the body) becomes almost completely overgrown here by the secondary pathogen.

In cases which proceed favourably, such superinfections can manifest themselves in unpleasant skin symptoms  
30 (itching, unattractive external appearance), depending on the microbe in question. In cases which proceed adversely, however, they can lead to destruction of the skin over large areas, and in the worst case can even culminate in the death of the patient.

35 Superinfections of the type described above are secondary diseases which often occur, for example, with full-blown AIDS. Microbes, which are harmless per se -

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In contrast to the prokaryotic and eukaryotic cellular organisms, viruses (virus = Latin for poison] are biological structures which require a host cell for biosynthesis. Extracellular viruses (also called "virions") consist of a single- or double-stranded nucleic acid sequence (DNA or RNA) and a protein shell (called a capsid), which may be surrounded by an additional lipid-containing casing (envelope). The entire system of nucleic acid and capsid is also called a nucleocapsid. Viruses are classified conventionally according to clinical criteria, although now they are usually classified according to their structure, their morphology, and in particular according to the nucleic acid sequence.

Medically important genera of viruses are, for example, influenza viruses (Orthomyxoviridae family), lyssaviruses (for example rabies, rhabdovirus family), enteroviruses (for example hepatitis A, Picornaviridae family) and hepadnaviruses (for example hepatitis B, Hepadnaviridae family).

Virucides, that is to say substances which kill viruses, do not exist in the true sense since viruses do not have their own metabolism. For this reason, there has also been debate as to whether viruses should be classified as organisms. Pharmacological intervention without damage to the unaffected cells is at any rate difficult. Possible action mechanisms in the fight against viruses are primarily interference in their replication, for example by blocking the enzymes present in the host cell which are important for replication. Furthermore, the release of the viral nucleic acids into the host cell can be prevented. In the context of the disclosure submitted here, terms such as "antiviral" or "active against viruses", "virucidal" or similar are understood as meaning the property of a substance of protecting a

single-cell or multicell organism from the harmful consequences of a viral infection, whether prophylactically or therapeutically, regardless of the actual action mechanism of the substance in the individual case.

However, the prior art lacks substances which are active against viruses and which furthermore cause no or no appreciable damage to the host organism.

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An object of the present invention was thus to remedy this poor state of affairs, that is to say to discover substances which effectively protect a single- or multicell organism from the harmful consequences of a viral infection, whether prophylactically or therapeutically.

Surprisingly, it has been found, and therein lies the solution of these objects, that the use of alkylated and/or acylated monosaccharides and/or oligosaccharides has antimicrobial, antimycotic and/or antiviral active ingredients overcome the disadvantages of the prior art.

It has been found, surprisingly, that the active ingredients used according to the invention prevent the growth of Gram-positive and Gram-negative bacteria, mycobionts and viruses.

In particular, the active ingredients used according to the invention are capable of preventing the growth of yeasts, in particular of the *Pityrosporum* species, that is to say *Pityrosporum ovale*.

It has furthermore been found that the active ingredients used according to the invention prevent the development of seborrhoeic symptoms, in particular

dandruff, and eliminate already existing seborrhoeic symptoms, in particular dandruff.

5 The active ingredients according to the invention furthermore are particularly suitable for use as a deodorizing active ingredient in cosmetic deodorants and against impure skin, mild forms of acne and Propioni-bacterium acnes.

10 Finally, it has been found that the active ingredients used according to the invention can prevent decay of organic matter, in particular cosmetic and dermatological formulations, due to attack by Gram-positive and Gram-negative bacteria, mycobionts and  
15 viruses, if they are added to these formulations.

The alkylated and/or acylated monosaccharides and/or oligosaccharides used according to the invention are sometimes also called alkyl or acyl monoglycosides or  
20 oligoglycosides, since the alkyl or acyl group is bonded glycosidally to the saccharide group.

The invention thus also relates to a method of combating mycobionts, characterized in that the active ingredients  
25 used according to the invention, if appropriate in a suitable cosmetic or dermatological carrier, are brought into contact with the region contaminated by mycobionts, and to a method for protecting organic products from attack by mycobionts, characterized in that the active  
30 ingredients used according to the invention are added in an active amount to these organic products.

The prior art consequently gave not the slightest indication of the use according to the invention as an  
35 antimycotic active principle.

It was furthermore surprising that the active ingredients used according to the invention have a

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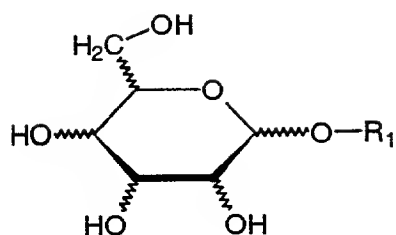
particularly good action against the microbe  
Pityrosporum ovale, which is responsible for the  
development of dandruff, and related microbes.  
Formulations which are to be used against dandruff, for  
5 example antidandruff shampoos, are consequently a  
preferred embodiment of the present invention.

The alkylated and/or acylated monosaccharides and/or  
oligosaccharides used according to the invention are  
10 preferably covered by the generic structure Glyc-R, in  
which Glyc is a monosaccharide group, a disaccharide  
group or a trisaccharide group, and the radical R,  
which is a branched or unbranched saturated alkyl group  
or acyl group having 1 - 25 carbon atoms, which group  
15 is bonded glycosidally to the group Glyc.

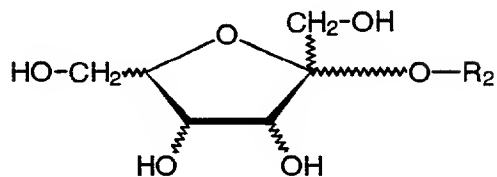
The hexoses on which the alkyl and acyl monoglycosides  
used according to the invention are advantageously  
based are preferably chosen from the group consisting  
20 of aldohexoses, usually in their pyranoside form, thus  
allo(pyrano)ses, altro(pyrano)ses, gluco(pyrano)ses,  
manno(pyrano)ses, gulo(pyrano)ses, ido(pyrano)ses, ga-  
lacto(pyrano)ses and talo(pyrano)ses, but the  
aldohexosyl derivatives present in furanoside form are  
25 also to be advantageously used, if necessary, according  
to the invention.

Parent (hexosyl)hexoses for disaccharides used  
according to the invention are advantageous and may  
30 preferably be chosen from the group consisting of  
pyranosylpyranoses and furanosylpyranoses having a 1,4-  
glycosidal or 1,6-glycosidal bond. They are preferably  
chosen from the group consisting of maltose, leucrose,  
lactose and sucrose.

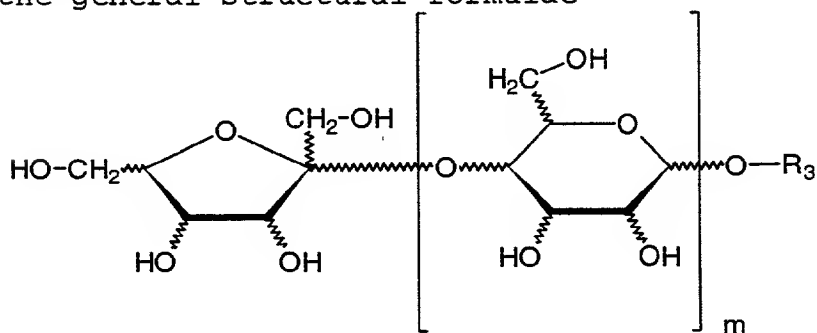
35 Accordingly, the alkyl and acyl monoglycosides  
preferably used according to the invention can be  
characterized by the general structural formulae



and

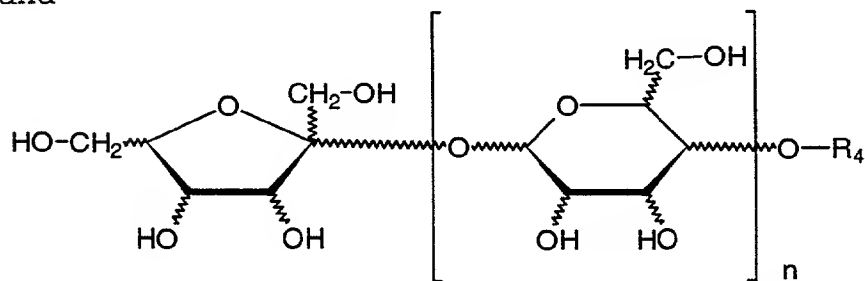


and the alkyl and acyl diglycosides and oligoglycosides  
5 used according to the invention are characterized by  
the general structural formulae



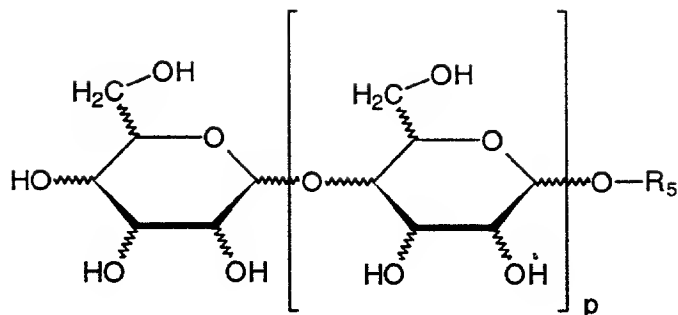
where  $m = 1 - 4$

and



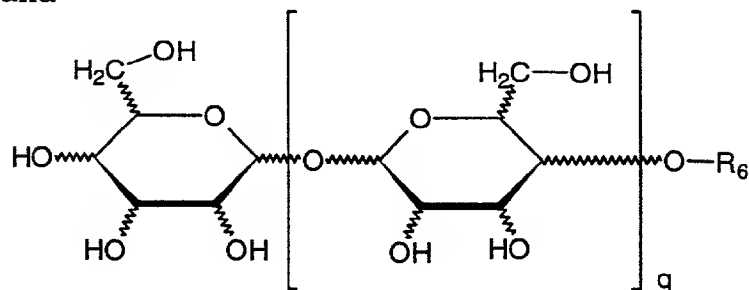
where  $n = 1 - 4$

and



where  $p = 1 - 4$

and



where  $q = 1 - 4$

in which  $R_1 - R_6$  include branched or unbranched saturated alkyl groups or acyl groups having 1 - 25 carbon atoms.

The use of D-glycosides is advantageous, although L-glycosides or mixed D/L-glycosides can also be used advantageously for the purposes of the present invention.

Hexosylglycosides, on which D- or L-ketohexoses are based, thus psicose, fructose, sorbose or tagatose, usually present in their furanoside form, can also be advantageously used, if necessary, for the purposes of the present invention.

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Alkyl and acyl glycosides which are used particularly advantageously according to the invention are chosen from the group consisting of  $\beta$ -D-octylglucopyranoside,  $\beta$ -D-nonylglucopyranoside,  $\beta$ -D-decylglucopyranoside,  $\beta$ -D-undecylglucopyranoside,  $\beta$ -D-dodecylglucopyranoside,  $\beta$ -D-tetradecylglucopyranoside and  $\beta$ -D-hexadecylglucopyranoside.

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Particular preference is given to  $\beta$ -D-octylglucopyranoside,  $\beta$ -D-nonylglucopyranoside and  $\beta$ -D-dodecylglucopyranoside, which are characterized in particular by very good action against *Corynebacterium* xerosis.

It is also advantageous to use natural or synthetic raw materials, auxiliaries and mixtures, which are characterized by an effective content of the active ingredients used according to the invention, for example Plantaren® 1200 (Henkel KGaA) and Oramix® NS 10 (Seppic).

It has been found, surprisingly, that the active ingredients used according to the invention prevent the growth of Gram-positive and Gram-negative bacteria, mycobionts and viruses.

In particular, the active ingredients used according to the invention are capable of preventing the growth of yeasts, in particular of the *Pityrosporum* species, that is to say *Pityrosporum ovale*.

It has furthermore been found that the active ingredients used according to the invention prevent the development of seborrhoeic symptoms, in particular dandruff, and eliminate already existing seborrhoeic symptoms, in particular dandruff.

The active ingredients used according to the invention furthermore are particularly suitable for use as a deodorizing active ingredient in cosmetic deodorants and against impure skin, mild forms of acne and *Propioni-bacterium acnes*.

Finally, it has been found that the active ingredients used according to the invention can prevent decay of organic matters, in particular cosmetic and

dermatological formulations, due to attack by Gram-positive and Gram-negative bacteria, mycobionts and viruses, if they are added to these formulations.

5 The invention thus also relates to a method of combating mycobionts, characterized in that the active ingredients used according to the invention, if appropriate in a suitable cosmetic or dermatological carrier, are brought into contact with the region contaminated by mycobionts,  
10 and to a method for protecting organic products from attack by mycobionts, characterized in that the active ingredients used according to the invention are added in an active amount to these organic products.

15 The prior art consequently gave not the slightest indication of the use according to the invention as an antimycotic active principle.

It was furthermore surprising that the active  
20 ingredients used according to the invention have a particularly good action against the microbe *Pityrosporum ovale*, which is responsible for the development of dandruff, and related microbes. Formulations which are to be used against dandruff, for  
25 example antidandruff shampoos, are consequently a preferred embodiment of the present invention.

According to the invention, the active ingredients are preferably used in cosmetic or dermatological  
30 compositions in a content of 0.005 - 50.0% by weight, in particular 0.01 - 20.0% by weight, based on the total weight of the composition. The compositions advantageously comprise 0.02 - 10.0% by weight, particularly preferably 0.02 - 5.0% by weight, of the  
35 active ingredients used according to the invention, very particularly advantageously 0.5 - 3.0% by weight, in each case based on the total weight of the composition.

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Cosmetic formulations according to the invention for the protection of the skin against UV rays can be in various forms, such as are usually used for this type of formulation. For example, they can be a solution, an  
5 emulsion of the water-in-oil (W/O) type or of the oil-in-water (O/W) type, or a multiple emulsion, for example of the water-in-oil-in-water (W/O/W) type, a gel, a hydrodispersion, a solid stick, or also an aerosol.

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The cosmetic formulations according to the invention can comprise cosmetic auxiliaries such as are usually used in such formulations, e.g. preservatives, bactericides, antioxidants, perfumes, antifoams,  
15 colorants, pigments which have a colouring effect, thickeners, surfactants, emulsifiers, emollients, moisturizers and/or humectants, fats, oils, waxes or other customary constituents of a cosmetic formulation, such as alcohols, polyols, polymers, foam stabilizers,  
20 electrolytes, organic solvents or silicone derivatives.

If the cosmetic or dermatological formulation is a solution or lotion, solvents which may be used are:

- water or aqueous solutions;
- 25 - oils, such as triglycerides of capric or of caprylic acid, but preferably castor oil;
- fats, waxes and other natural and synthetic fatty substances, preferably esters of fatty acids with alcohols of low carbon number, e.g. with  
30 isopropanol, propylene glycol or glycerol, or esters of fatty alcohols with alkanolic acids of low carbon number or with fatty acids;
- alcohols, diols or polyols of low carbon number, and ethers thereof, preferably ethanol,  
35 isopropanol, propylene glycol, glycerol, ethylene glycol, ethylene glycol monoethyl or monobutyl ether, propylene glycol monomethyl, monoethyl or

monobutyl ether, diethylene glycol monomethyl or monoethyl ether and analogous products.

In particular, mixtures of the abovementioned solvents  
5 are used. In the case of alcoholic solvents, water can be a further constituent.

According to the invention, favourable antioxidants which can be used are all the antioxidants which are  
10 suitable or customary for cosmetic and/or dermatological applications.

The antioxidants are advantageously chosen from the group consisting of amino acids (for example glycine,  
15 histidine, tyrosine, tryptophan) and derivatives thereof, imidazoles (for example urocanic acid) and derivatives thereof, peptides, such as D,L-carnosine, D-carnosine, L-carnosine and derivatives thereof (for example anserine), carotenoids, carotenes (for example  
20  $\alpha$ -carotene,  $\beta$ -carotene, lycopene) and derivatives thereof, lipoic acid and derivatives thereof (for example dihydrolipoic acid), aurothioglucose, propyl-thiouracil and other thiols (for example thioredoxin, glutathione, cysteine, cystine, cystamine and the  
25 glycosyl, N-acetyl, methyl, ethyl, propyl, amyl, butyl and lauryl, palmitoyl, oleyl,  $\gamma$ -linoleyl, cholesteryl and glyceryl esters thereof) and salts thereof, dilauryl thiodipropionate, distearyl thiodipropionate, thiodipropionic acid and derivatives thereof (esters,  
30 ethers, peptides, lipids, nucleotides, nucleosides and salts) and sulphoximine compounds (for example buthionine-sulphoximines, homocysteine-sulphoximine, buthionine sulphones, penta-, hexa- and heptathionine-sulphoximine) in very low tolerated doses (for example  
35 pmol to  $\mu$ mol/kg), and furthermore (metal) chelating agents (for example  $\alpha$ -hydroxy-fatty acids, palmitic acid, phytic acid, lactoferrin),  $\alpha$ -hydroxy acids (for example citric acid, lactic acid, malic acid), humic

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acid, bile acid, bile extracts, bilirubin, biliverdin, EDTA, EGTA and derivatives thereof, unsaturated fatty acids and derivatives thereof (for example  $\gamma$ -linolenic acid, linoleic acid, oleic acid), folic acid and derivatives thereof, ubiquinone and ubiquinol and derivatives thereof, vitamin C and derivatives (for example ascorbyl palmitate, Mg ascorbyl phosphate, ascorbyl acetate), tocopherols and derivatives (for example vitamin E acetate), vitamin A and derivatives (vitamin A palmitate) and coniferyl benzoate of benzoin resin, rutic acid and derivatives thereof, ferulic acid and derivatives thereof, butylated hydroxytoluene, butylated hydroxyanisole, nordihydroguaiac resin acid, nordihydroguaiaretic acid, trihydroxybutyrophenone, uric acid and derivatives thereof, mannose and derivatives thereof, zinc and derivatives thereof (for example ZnO, ZnSO<sub>4</sub>), selenium and derivatives thereof (for example selenium methionine), stilbenes and derivatives thereof (for example stilbene oxide, trans-stilbene oxide) and the derivatives of these active ingredients mentioned which are suitable according to the invention (salts, esters, ethers, sugars, nucleotides, nucleosides, peptides and lipids).

The amount of the antioxidants (one or more compounds) in the formulations is preferably from 0.001 to 30% by weight, particularly preferably 0.05-20% by weight, in particular 1-10% by weight, based on the total weight of the formulation.

If vitamin E and/or derivatives thereof is or are the antioxidant or antioxidants, it is advantageous to choose the particular concentrations thereof from the range 0.001 - 10% by weight, based on the total weight of the formulation.

If vitamin A or vitamin A derivatives or carotenes or derivatives thereof is or are the antioxidant or

5 Emulsions according to the invention are advantageous and comprise, for example, the specified fats, oils, waxes and other fatty substances, and water and an emulsifier, such as is customarily used for such a type of formulation.

20 Solid sticks according to the invention comprise, for example, natural or synthetic waxes, fatty alcohols or fatty acid esters. Preference is given to lip care sticks and deodorizing sticks ("Deo-Sticks").

The person skilled in the art obviously knows that there are propellant gases which are non-toxic per se and which would in principle be suitable for the present invention, but which, because of their harmful effect on the environment or other accompanying circumstances, should be avoided, in particular fluorocarbons and chlorofluorocarbons (CFCs).

The formulations according to the invention can preferably also comprise substances which absorb UV radiation in the UVB region, the total amount of filter substances being, for example, from 0.1% by weight to 30% by weight, preferably from 0.5 to 10% by weight, in particular from 1 to 6% by weight, based on the total weight of the formulation, in order to provide cosmetic formulations which protect the skin from the entire region of ultraviolet radiation. They can also be used as sunscreen.

The UVB filters can be oil-soluble or water-soluble. Examples of oil-soluble substances which can be mentioned are:

- 15 - 3-benzylidenecamphor and its derivatives, preferably 3-(4-methylbenzylidene)camphor;
- 4-aminobenzoic acid derivatives, preferably 2-ethylhexyl 4-(dimethylamino)benzoate, amyl 4-(dimethylamino)benzoate;
- 20 - esters of cinnamic acid, preferably 2-ethylhexyl 4-methoxycinnamate, isopentyl 4-methoxycinnamate;
- esters of salicylic acid, preferably 2-ethylhexyl salicylate, 4-isopropylbenzyl salicylate, homomenthyl salicylate;
- 25 - derivatives of benzophenone, preferably 2-hydroxy-4-methoxybenzophenone, 2-hydroxy-4-methoxy-4'-methylbenzophenone, 2,2'-dihydroxy-4-methoxybenzophenone;
- esters of benzalmalonic acid, preferably di(2-ethylhexyl) 4-methoxybenzalmalonate;
- 30 - 2,4,6-trianilino-(p-carbo-2'-ethyl-1'-hexyloxy)-1,3,5-triazine.

Water-soluble substances are advantageously:

- 35 - 2-phenylbenzimidazole-5-sulphonic acid and its salts, for example sodium, potassium or triethanolammonium salts;

- sulphonic acid derivatives of benzophenones, preferably 2-hydroxy-4-methoxybenzophenone-5-sulphonic acid and its salts;
- sulphonic acid derivatives of 3-benzylidene camphor, such as for example 4-(2-oxo-3-bornylidenemethyl)benzenesulphonic acid, 2-methyl-5-(2-oxo-3-bornylidenemethyl)sulphonic acid and its salts.

10 The list of given UVB filters which can be used according to the invention is of course not intended to be limiting.

15 It can also be advantageous to use UVA filters which are usually present in cosmetic and/or dermatological formulations in the formulations according to the invention. Such substances are preferably derivatives of dibenzoylmethane, in particular 1-(4'-tert-butylphenyl)-3-(4'-methoxyphenyl)propane-1,3-dione and  
20 1-phenyl-3-(4'-isopropylphenyl)propane-1,3-dione. Formulations which contain these combinations are also a subject-matter of the invention. The same amount of UVA filter substances which were given for UVB filter substances can be used.

25 Cosmetic and/or dermatological formulations according to the present invention can also contain inorganic pigments which are usually used in the cosmetics industry for the protection of skin against UV  
30 radiation. These are oxides of titanium, zinc, iron, zirconium, silicon, manganese, aluminium, cerium and mixtures thereof, and modifications in which the oxides are the active agents. Pigments based on titanium dioxide are particularly preferred. The quantities  
35 given for the above combinations can be used.

Cosmetic formulations for hair care are, for example, shampoo compositions, formulations which are used when

5 rinsing the hair before or after shampooing, before or  
after permanent wave treatment or before or after  
colouring or bleaching the hair, formulations for blow-  
drying or setting the hair, formulations for colouring  
or bleaching, a styling and treatment lotion, a hair  
lacquer or a permanent wave composition.

10 The cosmetic formulations comprise active ingredients  
and auxiliaries as are usually used for this type of  
formulation for hair care and hair treatment.

15 The auxiliaries used are preservatives, surfactants,  
antifoams, emulsifiers, thickeners, fats, oils, waxes,  
organic solvents, bactericides, perfumes, colorants or  
pigments, the task of which is to colour the hair or  
the formulation itself, electrolytes and formulations  
to prevent the hair becoming greasy.

20 Cosmetic formulations which are a shampoo composition  
or a wash, shower or bath formulation preferably  
comprise at least one anionic, nonionic or amphoteric  
surfactant or mixtures thereof, active ingredients  
according to the invention and auxiliaries as are  
usually used for this purpose.

25 Examples of surfactants which can be used  
advantageously according to the invention are  
conventional soaps, for example fatty acid salts of  
sodium, alkyl sulphates, alkyl ether sulphates, alkane-  
30 and alkylbenzenesulphonates, sulphoacetates, sulpho-  
betaines, sarcosinates, amidosulphobetaines, sulpho-  
succinates, sulphosuccinic acid monoesters, alkyl ether  
carboxylates, protein-fatty acid condensates, alkyl-  
betaines and amidobetaines, fatty acid alkanolamides  
35 and polyglycol ether derivatives.

The surfactant can be present in a concentration between 1% by weight and 50% by weight in the shampoo composition or the wash, shower or bath preparation.

- 5 If the cosmetic or dermatological formulation is in the form of a lotion which is rinsed out and used, for example, before or after colouring, before or after shampooing, between two shampooing steps, or before or after a permanent wave treatment, it comprises, for  
10 example, aqueous or aqueous-alcoholic solutions, which, if desired, comprise surfactants, preferably nonionic or cationic surfactants, the concentration of which may lie between 0.1 and 10% by weight, preferably between 0.2 and 5% by weight. This cosmetic or dermatological  
15 preparation may also be an aerosol comprising the customary auxiliaries used for this purpose.

- A cosmetic formulation in the form of a lotion which is not rinsed out, in particular a lotion for setting the  
20 hair, a lotion which is used when blow-drying the hair, a styling and treatment lotion, is generally an aqueous, alcoholic or aqueous-alcoholic solution and comprises at least one cationic, anionic, nonionic or amphoteric polymer or mixtures thereof, and active  
25 ingredients according to the invention. The amount of active ingredients according to the invention used is, for example, between 0.1 and 10% by weight, preferably between 0.1 and 3% by weight.

- 30 Cosmetic and dermatological formulations for the treatment and care of hair which comprise the active ingredients used according to the invention may be in the form of emulsions of the nonionic or anionic type. As well as comprising water, nonionic emulsions  
35 comprise oils or fatty alcohols, which may, for example be polyethoxylated or polypropoxylated, or mixtures of the two organic components. These emulsions comprise, if desired, cationic surfactants.

Cosmetic and dermatological formulations for the treatment and care of the hair can be in the form of gels, which, in addition to active ingredients used according to the invention and solvents customarily used for this purpose, also comprise organic thickeners, for example gum arabic, xanthan gum, sodium alginate, cellulose derivatives, preferably methylcellulose, hydroxymethylcellulose, hydroxyethylcellulose, hydroxypropylcellulose or hydroxypropylmethylcellulose, or inorganic thickeners, e.g. aluminium silicates, such as, for example, bentonites, or a mixture of polyethylene glycol and polyethylene glycol stearate or distearate. The thickener is present in the gel, for example in an amount between 0.1 and 30% by weight, preferably between 0.5 and 15% by weight.

The amount of the active ingredients used according to the invention in a product intended for the hair is preferably from 0.01% by weight to 10% by weight, in particular from 0.5% by weight to 5% by weight, based on the total weight of the formulations.

The examples below serve to illustrate the present invention without limiting it.

Example 1

W/O cream		I	II
5	Paraffin oil	10.00	10.00
	Ozokerite	4.00	4.00
	Vaseline	4.00	4.00
	Vegetable oil	10.00	10.00
	Wool wax alcohol	2.00	2.00
10	Aluminium stearate	0.40	0.40
	Octylglucoside	3.00	-
	Sucrose laurate	-	3.00
	Perfume, preservatives	..... q.s. ....	
	Water, deionized	..... to 100.00 ....	
15	pH:	..... to 5.5 - 6.0 .	

Example 2

O/W lotion		I	II
	Paraffin oil	5.00	5.00
	Isopropyl palmitate	5.00	5.00
	Cetyl alcohol	2.00	2.00
25	Beeswax	2.00	2.00
	Ceteareth-20	2.00	2.00
	PEG-20-glyceryl stearate	1.50	1.50
	Glycerol	3.00	3.00
	Plantaren® 1200	5.00	-
30	Decylglucoside	-	5.00
	Perfume, preservatives	..... q.s. ....	
	Water, deionized	..... to 100.00 ....	
	pH:	..... to 5.5 - 6.0 .....	

Example 3

Skin oil

	I	II
5 Cetyl palmitate	3.00	3.00
C <sub>12-15</sub> -alkyl benzoate	2.00	2.00
Polyisobutene	10.00	10.00
Squalane	2.00	2.00
Plantaren® 2000	5.00	-
10 Oramix®NS 10	-	5.00
Perfume, preservatives	..... q.s. ....	.....
Paraffin oil	..... to 100.00 .....	.....

15 Example 4

Lipstick

	I	II
Ceresine	8.00	8.00
20 Beeswax	4.00	4.00
Carnauba wax	2.00	2.00
Vaseline	40.00	40.00
Hydrogenated castor oil	4.00	4.00
Caprylic/capric triglyceride	6.00	6.00
25 Plantaren® 1200	2.00	-
Sucrose myristate	-	2.00
Perfume, preservatives	..... q.s. ....	.....
Paraffin oil	..... to 100.00 .....	.....

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Example 5

Care mask		I	II
5	PEG-50 lanolin	0.50	0.50
	Glyceryl stearate	2.00	2.00
	Sunflower kernel oil	3.00	3.00
	Bentonite	8.00	8.00
	Kaolin	35.00	35.00
10	Zinc oxide	5.00	5.00
	Glucose caprylate	2.00	-
	Oramix® NS 10	-	2.00
	Perfume, preservatives	..... q.s. ....	.....
	Water, deionized	..... to 100.00 .....	.....
15	pH:	..... ad 5,5 - 6,0 .....	.....

Example 6

20	Syndet soap	I	II
	Sodium lauryl sulphate	30.00	30.00
	Sodium sulphosuccinate	10.00	10.00
25	Potassium cocoyl		
	hydrolysed collagen	2.00	2.00
	Dimethicone copolyol	2.00	2.00
	Paraffin	2.00	2.00
	Maize starch	10.00	10.00
30	Talc	10.00	10.00
	Glycerol	3.00	3.00
	Plantaren® 1200	3.00	-
	Oramix® NS 10	-	3.00
	Perfume, preservatives	..... q.s. ....	.....
35	Water, deionized	..... to 100.00 .....	.....
	pH:	..... to 5.5 - 6.0 .....	.....

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Care shampoo

15

### Example 8

30

Example 9

Aerosol spray

	I	II
5 Octyldodecanol	0.50	0.50
Plantaren® 1200	2.00	-
Sucrose myristate	-	2.00
Perfume, preservatives	..... q.s. ....	.....
Ethanol	..... to 100.00 .....	.....

10

The liquid phase obtained by mixing together the particular constituents is transferred to an aerosol container together with a propane/butane mixture (2:7) in the ratio 39:61.

15

Example 10

Roll-on gel

	I	II
20 1,3-Butylene glycol	2.00	2.00
PEG-40-hydrogenated		
castor oil	2.00	2.00
Hydroxyethylcellulose	0.50	0.50
25 Plantaren® 1200	5.00	-
Decylglucoside	-	5.00
Perfume, preservatives	..... q.s. ....	.....
Water, deionized	..... to 100.00 .....	.....
pH:	..... to 5.5 - 6.0 .....	.....

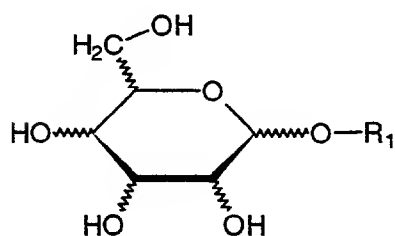
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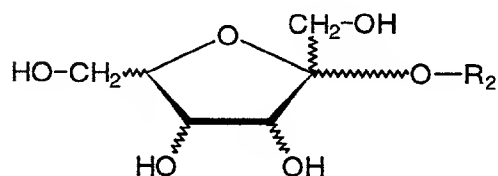
**Claims:**

1. Use of alkylated and/or acylated monosaccharides  
and/or oligosaccharides as antimicrobial, antimycotic  
5 and/or antiviral active ingredients.

2. Use according to Claim 1, characterized in that  
the alkylated and/or acylated monosaccharide(s) is/are  
chosen from substances which are given by the general  
10 structural formulae

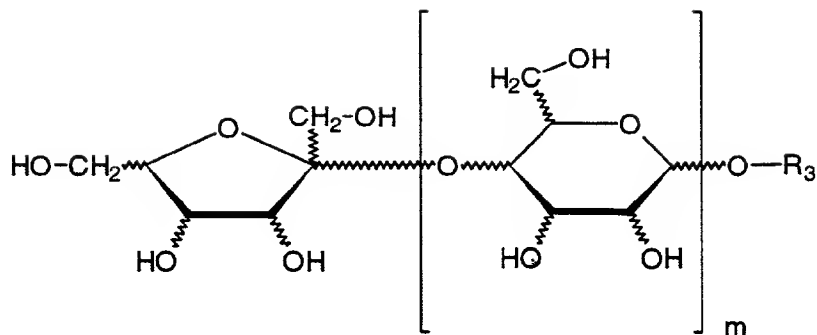


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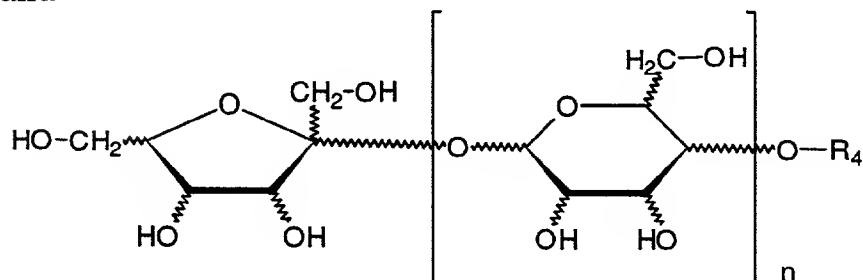
15 in which  $\text{R}_1$  and/or  $\text{R}_2$  include branched or unbranched  
saturated alkyl groups or acyl groups having 1 -  
25 carbon atoms.

3. Use according to Claim 1, characterized in that  
20 the alkylated and/or acylated disaccharide(s) or oligo-  
glucosides are chosen from substances which are given  
by the general structural formulae



where  $m = 1 - 4$

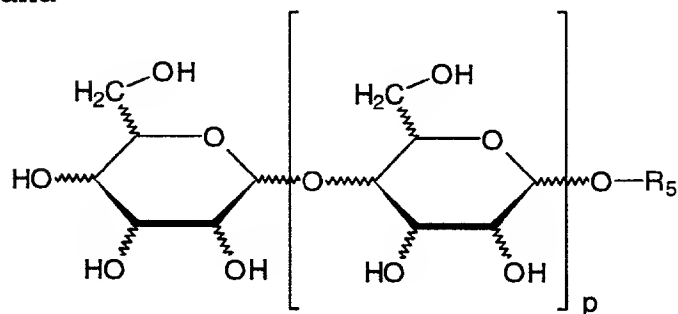
and



where  $n = 1 - 4$

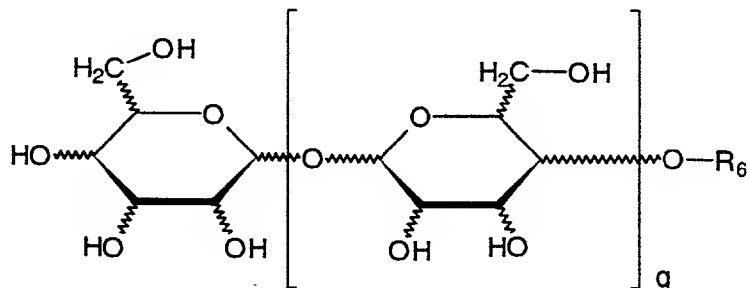
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and



where  $p = 1 - 4$

and



where  $q = 1 - 4$

in which  $R_3 - R_6$  include branched or unbranched saturated alkyl groups or acyl groups having 1 - 25 carbon atoms.

10

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4. Use according to Claim 1, characterized in that the alkylated and/or acylated monosaccharides and/or oligosaccharides are present in cosmetic or dermatological formulations.

5

5. Use according to Claim 1, characterized in that the alkylated and/or acylated monosaccharides and/or oligosaccharides are chosen from the group consisting of  $\beta$ -D-octylglucopyranoside,  $\beta$ -D-nonylglucopyranoside,  $\beta$ -D-decylglucopyranoside,  $\beta$ -D-undecylglucopyranoside,  $\beta$ -D-dodecylglucopyranoside,  $\beta$ -D-tetradecylglucopyranoside and  $\beta$ -D-hexadecylglucopyranoside.

6. Use according to Claim 1, characterized in that the alkylated and/or acylated monosaccharides and/or oligosaccharides are present in natural or synthetic raw materials or auxiliaries or mixtures.

7. Use according to Claim 4, characterized in that the alkylated and/or acylated monosaccharides and/or oligosaccharides are used in cosmetic or dermatological formulations in a content of 0.005 - 50.0% by weight, in particular 0.01 - 20.0% by weight, based on the total weight of the composition.

**Abstract:**

Use of alkylated and/or acylated monosaccharides and/or oligosaccharides as antimicrobial, antimycotic and/or antiviral active ingredients,

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**COMBINATION DECLARATION & POWER OF ATTORNEY**

As a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name,

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled **USE OF SUGAR DERIVATIVES AS ANTIMICROBIAL, ANTIMYCOTIC AND/OR ANTIVIRAL ACTIVE INGREDIENTS**

the specification of which is attached hereto.

was filed on **December 4, 1995**, as International Application No. **PCT/EP96/05400**, and entered the national phase in the United States on **June 16, 1998** as application Serial No. **09/091,602**

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment referred to above.

I acknowledge the duty to disclose information which is material to the examination of this application in accordance with Title 37, Code of Federal Regulations §1.56(a).

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign Application(s)			Priority Claimed
<u>195 47 160.1</u> (Number)	<u>Germany</u> (Country)	<u>16/December/1995</u> (Day/Month/Yr. Filed)	[X] yes [ ]no

I hereby claim the benefit under 35 U.S.C. § 119(e) of any United States Provisional Application(s) listed below.

_____ (Application Number)	_____ (Filing Date)
-------------------------------	------------------------

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose material information as defined in Title 37, Code of Federal Regulations, §1.56(a) which occurred between the filing date of the prior application and the national or PCT international filing date of this application:

_____ (Application Serial No.)	_____ (Filing Date)	_____ (Status) (patented, pending, abandoned)
-----------------------------------	------------------------	---

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punished by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

POWER OF ATTORNEY: As a named inventor, I hereby appoint the following attorney(s) and/or agent(s) to prosecute this application and transact all business in the Patent and Trademark Office connected therewith:

10 Arnold Sprung, Reg. No. 17,232; Nathaniel D. Kramer, Reg. No. 25,350; Ira J. Schaefer, Reg. No. 26,802 and Esther Steinhauer, Reg. No. 40,255 all of 120 White Plains Road, Tarrytown, New York 10591; Kurt G. Briscoe, Reg. No. 33,141; William C. Gerstenzang, Reg. No. 27,552; Carmella A. O'Gorman, Reg. No. 33,749 and Stephen G. Ryan, Reg. No. 39,015 all of 660 White Plains Road, Tarrytown, New York 10591-5144, my attorneys with full power of substitution and revocation.

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